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(FILE 'HOME' ENTERED AT 09:35:05 ON 18 APR 2005)

FILE 'CAPLUS' ENTERED AT 09:35:09 ON 18 APR 2005

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L5	145	S	?ESTROGEN? AND BENZOPYRA?
L6	0	S	L5 AND ?ISOSTER?
L7	51	S	L5 AND P/DT
L8	5	S	L7 AND PY<1991
L9	31	S	L7 AND PY<2001
L10	20	S	L9 AND US/PC
L11	0	S	L5 AND (BENZOYPRAN?(5W)ON?)
L12	26	S	L5 AND BENZOPYRANO?
L13	10	S	L12 AND P/DT
L14	7	S	L13 AND US/PC

L14 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:392330 CAPLUS
DN 140:391197
TI Preparation of **benzopyranone** compounds for modulating **estrogen** receptor expression
IN Renaud, Johanne; Missbach, Martin; McKie, Jeffrey A.; Bhagwat, Shripad S.
PA Switz.
SO U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Ser. No. 125,965.
CODEN: USXXCO
DT **Patent**
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004092572	A1	20040513	US 2003-412997	20030414 <--
US 6620838	B1	20030916	US 2002-125965	20020419 <--
CA 2482986	AA	20031030	CA 2003-2482986	20030418
WO 2003089422	A1	20031030	WO 2003-US12283	20030418
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1497277	A1	20050119	EP 2003-733871	20030418
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI US 2002-125965	A2	20020419		
US 2003-412997	A	20030414		
WO 2003-US12283	W	20030418		

OS MARPAT 140:391197
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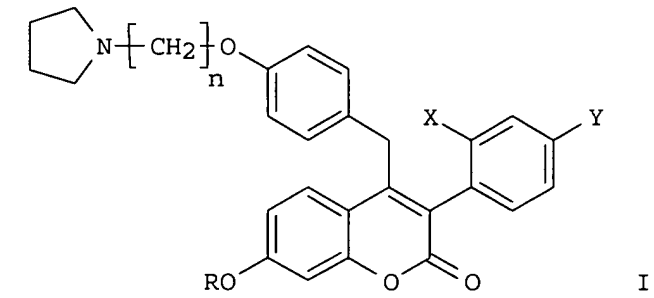

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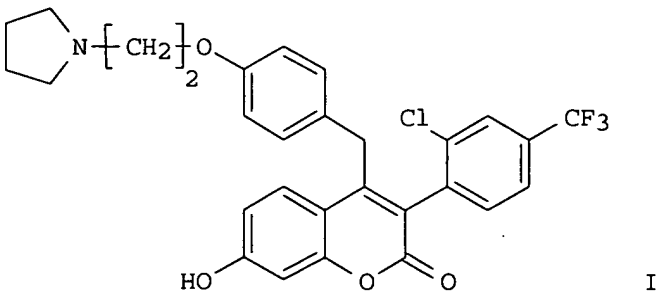

II

AB **Benzopyranone** compds. of formula I [R = H, acyl, etc.; X = H, halo, CF3; Y = halo, CF3; n = 2-4] are prepared for modulating gene expression in a cell expressing **estrogen** receptor (ER). The compds. of formula I wherein R is H can be prepared by demethylation of the corresponding phenolic Me ether. The compds. are useful for treating a bone-resorbing disease, cancer, arthritis or an **estrogen**-related condition such as breast cancer, osteoporosis, endometriosis, cardiovascular disease, hypercholesterolemia, prostatic hypertrophy, prostatic carcinomas, obesity, hot flashes, skin effects, mood swings, memory loss, and adverse reproductive effects associated with exposure to environmental chems. or natural hormonal imbalances. Thus, II was prepared from (2-chloro-4-trifluoromethylphenyl)acetic acid, 1-(2-hydroxy-4-methoxyphenyl)-2-(4-hydroxyphenyl)ethan-1-one and 1-(2-chloroethyl)pyrrolidine hydrochloride. The IC50 of II against MCF-7 breast cancer cell was 4.5 nM.

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:855919 CAPLUS
DN 139:350634
TI Preparation of **benzopyranone** compounds as inhibitors of interleukin 6 release, antitumor agents, etc.
IN McKie, Jeffrey A.; Bhagwat, Shripad S.; Renaud, Johanne; Missbach, Martin
PA Signal Pharmaceuticals, Inc., USA; Novartis A.-G.
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003089422	A1	20031030	WO 2003-US12283	20030418
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 6620838	B1	20030916	US 2002-125965	20020419 <--
	US 2004092572	A1	20040513	US 2003-412997	20030414 <--
	CA 2482986	AA	20031030	CA 2003-2482986	20030418
	EP 1497277	A1	20050119	EP 2003-733871	20030418
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	US 2002-125965	A	20020419		
	US 2003-412997	A	20030414		
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OS MARPAT 139:350634
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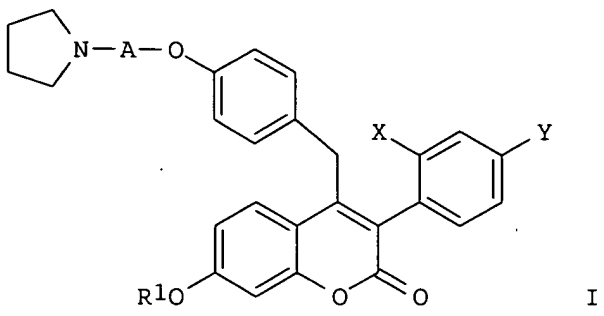

I

AB The title compds. I [A = (CH2)n; n = 2 to 4; R1 = H, COR2, etc.; R2 = alkyl, etc.; X = H, halo, etc.; Y = halo, etc.] are prepared I are useful for treating a bone-resorbing disease, cancer, arthritis or an **estrogen**-related condition such as breast cancer, osteoporosis, endometriosis, cardiovascular disease, hypercholesterolemia, prostatic hypertrophy, prostatic carcinomas, obesity, hot flashes, skin effects, mood swings, memory loss, and adverse reproductive effects associated with exposure to environmental chems. or natural hormonal imbalances. Compds. of this invention inhibit both MCF-7 breast cancer and BG-1 ovarian carcinoma cell proliferation; they showed IC50 values of 1.4 nM to 13.6 nM against BG-1 ovarian carcinoma cells and IC50 values of 3 nM to 13.6 nM against MCF-7 breast cancer cells.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:730534 CAPLUS
DN 139:261167
TI Preparation of **benzopyranones** for inhibiting interleukin-6
IN Mckie, Jeffrey A.; Bhagwat, Shripad S.; Renaud, Johanne; Missbach, Martin
PA Signal Pharmaceuticals, Inc., USA
SO U.S., 21 pp.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6620838	B1	20030916	US 2002-125965	20020419 <--
	US 2004092572	A1	20040513	US 2003-412997	20030414 <--
	CA 2482986	AA	20031030	CA 2003-2482986	20030418
	WO 2003089422	A1	20031030	WO 2003-US12283	20030418
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	EP 1497277	A1	20050119	EP 2003-733871	20030418
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PRAI	US 2002-125965	A2	20020419		
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OS MARPAT 139:261167

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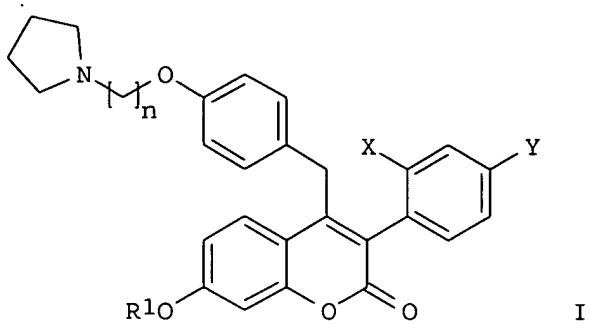

I

AB The title **benzopyranones** [I; n = 2-4; R1 = H, COR2, CO2R2, etc.; R2 = alkyl, aryl, arylalkyl, etc.; X = H, halo, CF3; Y = halo, CF3], useful for treating a bone-resorbing disease, cancer, arthritis or an **estrogen**-related condition such as breast cancer, osteoporosis and endometriosis, were prepared E.g., a 4-step synthesis of I [n = 2; R1 = H; X = Cl; Y = CF3] (starting from tert-Bu acetate and 3-chloro-4-iodobenzotrifluoride) which showed IC50 of 0.4 nM against IL-6, was given. The compds. I, wherein R1 = H, can be prepared by demethylation of the corresponding phenolic Me ether. Pharmaceutical composition comprising the compound I was claimed.

RE.CNT 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:809720 CAPLUS
DN 128:61504
TI Preparation of chromenoquinoline derivatives and analogs as steroid receptor modulator compounds and methods of their use
IN Jones, Todd K.; Zhi, Lin; Edwards, James P.; Tegley, Christopher M.; West, Sarah J.
PA Ligand Pharmaceuticals Inc., USA
SO U.S., 129 pp., Cont.-in-part of U.S. Ser. No. 363,127, abandoned.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5696127	A	19971209	US 1995-465429	19950605 <--
	CA 2208347	AA	19960627	CA 1995-2208347	19951213
	WO 9619458	A2	19960627	WO 1995-US16096	19951213
	WO 9619458	A3	19961212		
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	AU 9645977	A1	19960710	AU 1996-45977	19951213
	AU 717251	B2	20000323		
	EP 800519	A1	19971015	EP 1995-944089	19951213
	EP 800519	B1	20031022		
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	CN 1175247	A	19980304	CN 1995-197702	19951213
	BR 9510486	A	19980602	BR 1995-10486	19951213

	HU 78117	A2	19991129	HU 1997-2305	19951213
	EP 1041071	A1	20001004	EP 2000-113914	19951213
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	EP 1041066	A1	20001004	EP 2000-113915	19951213
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	EP 1043325	A1	20001011	EP 2000-113829	19951213
	EP 1043325	B1	20040616		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	EP 1043326	A1	20001011	EP 2000-113830	19951213
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	EP 1043315	A1	20001011	EP 2000-113916	19951213
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	RU 2191774	C2	20021027	RU 1997-112141	19951213
	AT 252560	E	20031115	AT 1995-944089	19951213
	EP 1382597	A2	20040121	EP 2003-23907	19951213
	EP 1382597	A3	20040407		
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	PT 800519	T	20040331	PT 1995-944089	19951213
	AT 269336	E	20040715	AT 2000-113829	19951213
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	NO 2000003552	A	19970814	NO 2000-3552	20000710
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	US 1995-462643	A	19950605		
	US 1995-463231	A	19950605		
	US 1995-464360	A	19950605		
	US 1995-464514	A	19950605		
	US 1995-464541	A	19950605		
	US 1995-464546	A	19950605		
	US 1995-465429	A	19950605		
	US 1995-465556	A	19950605		
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	WO 1995-US16096	W	19951213		
OS	MARPAT 128:61504				
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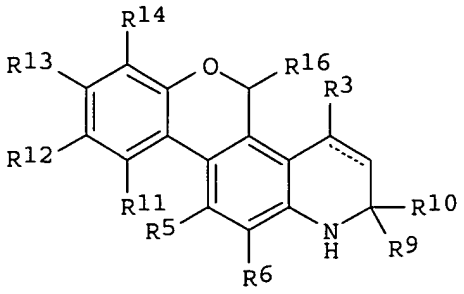

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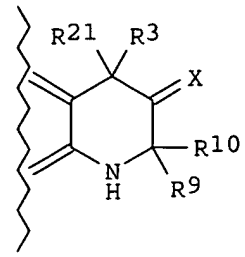

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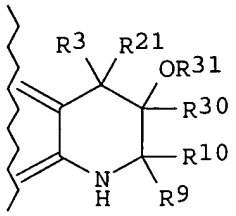
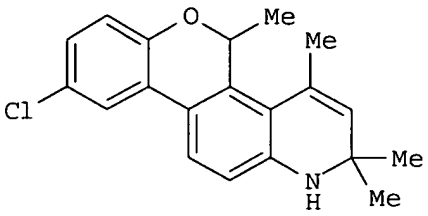


III



IV

AB Non-steroidal title compds. I-III and analogs (3 addnl. claimed Markush structures) are disclosed [wherein R3 = H, C1-4 alkyl or perfluoroalkyl, CH2OH, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, alkynyl, or alkenyl; R5-R6 = H, F, Cl, Br, iodo, NO2, CO2H, CO2R2, COR2, cyano, CF3, CH2OH, C1-4 alkyl or perfluoroalkyl, OR2, SR2, SOR2, SO2R2, SO3H, S(NR2R7)R2, S(O)(NR2R7)R2, NR2R7, aryl, heteroaryl, etc.; wherein R2 = H, C1-4 alkyl or perfluoroalkyl, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, alkynyl, or alkenyl; R7 = H, C1-4 alkyl or perfluoroalkyl, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, NHR8, or OR8; R8 = H, C1-6 alkyl or perfluoroalkyl, aryl, heteroaryl, (un)substituted allyl or arylmethyl, SO2R2, SOR2; R9, R10 = H, C1-6 alkyl or perfluoroalkyl, aryl, heteroaryl, (un)substituted allyl, arylmethyl, alkynyl, or alkenyl; or R9 and R10 form a 3- to 7-membered ring optionally substituted with F, OR2, or NR2R7; R11-R14 = H, F, Cl, Br, iodo, NO2, CO2H, CO2R2, COR2, cyano, CF3, CH2OH, C1-4 alkyl or perfluoroalkyl, OR2, SR2, SOR2, SO2R2, SO3H, S(NR2R7)R2, SO(NR2R7)R2, NR2R7, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, alkynyl, or alkenyl; X = CH2, O, S, NR7; R16 = H, OH, OR17, SR17, NR2R7, (un)substituted allyl, etc., or alkyl; R17 = alkyl, etc.; R21, R30, R31 = H, C1-4 alkyl, etc.]. The compds. are high-affinity, high-selectivity modulators of steroid receptors, and in particular are agonists or antagonists of progesterone receptors, or antagonists of glucocorticoid receptors. Also disclosed are pharmaceutical compns. incorporating the compds., which are effective in female hormone replacement, modulating human fertility, or treating dysfunctional uterine bleeding, endometriosis, leiomyomas, osteoporosis, cancer of the breast or ovaries, or endometrial cancer; methods for employing the disclosed compds. and compns. for treating patients requiring progesterone receptor agonist or antagonist therapy; intermediates useful in the preparation of the compds., and processes for their preparation As glucocorticoid antagonists, some compds. are useful for modulating carbohydrate, protein, and lipid metabolism, as well as functioning of the cardiovascular, kidney, central nervous, immune, and musculo-skeletal systems. Over 350 synthetic examples are given. For instance, title compound IV was prepared in 20% yield from a corresponding coumarinoquinoline derivative by reaction of the coumarin lactone function with MeLi, and reduction of the resulting hemiacetal intermediate with Et3SiH and either BF3.OEt2 or CF3CO2H. Selected compds. were tested in vitro and/or in vivo for activity at progesterone, androgen, **estrogen**,

glucocorticoid and mineralocorticoid receptors. In a test for agonist activity at progesterone receptors expressed in CV-1 cells, IV had an efficacy (maximum response) of 138% vs. progesterone, with comparable potency. Five pharmaceutical formulations are described.

L14 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:772298 CAPLUS
DN 128:61502
TI Preparation of chromenoquinoline derivatives and analogs as steroid receptor modulator compounds and methods
IN Jones, Todd K.; Tegley, Christopher M.; Zhi, Lin; Edwards, James P.; West, Sarah J.
PA Ligand Pharmaceuticals Inc., USA
SO U.S., 128 pp., Cont.-in-part of U.S. Ser. No. 363,529, abandoned.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5693646	A	19971202	US 1995-464360	19950605 <--
	CA 2208347	AA	19960627	CA 1995-2208347	19951213
	WO 9619458	A2	19960627	WO 1995-US16096	19951213
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	AU 9645977	A1	19960710	AU 1996-45977	19951213
	AU 717251	B2	20000323		
	EP 800519	A1	19971015	EP 1995-944089	19951213
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	HU 78117	A2	19991129	HU 1997-2305	19951213
	EP 1041071	A1	20001004	EP 2000-113914	19951213
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	EP 1382597	A2	20040121	EP 2003-23907	19951213
	EP 1382597	A3	20040407		
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	NO 312098	B1	19970814		
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	NO 313049	B1	20020814		
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	US 1995-462643	A	19950605		
	US 1995-463231	A	19950605		
	US 1995-464360	A	19950605		
	US 1995-464514	A	19950605		
	US 1995-464541	A	19950605		
	US 1995-464546	A	19950605		
	US 1995-465429	A	19950605		
	US 1995-465556	A	19950605		
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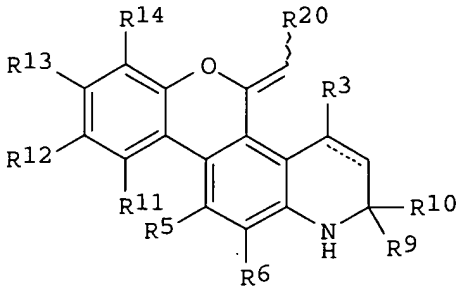
OS MARPAT 128:61502

GI



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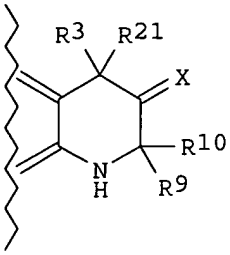

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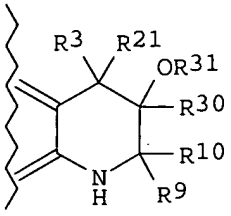

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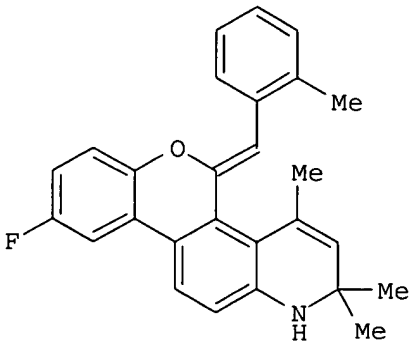

IV

AB Non-steroidal title compds. I-III and analogs are disclosed [wherein R3 = H, C1-4 alkyl or perfluoroalkyl, CH2OH, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, alkynyl, or alkenyl; R5-R6 = H, F, Cl, Br, iodo, NO2, CO2H, CO2R2, COR2, cyano, CF3, CH2OH, C1-4 alkyl or perfluoroalkyl, OR2, SR2, SOR2, SO2R2, SO3H, S(NR2R7)R2, S(O)(NR2R7)R2, NR2R7, aryl, heteroaryl, etc.; wherein R2 = H, C1-4 alkyl or perfluoroalkyl, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, alkynyl, or alkenyl; R7 = H, C1-4 alkyl or perfluoroalkyl, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, NHR8, or OR8; R8 = H, C1-6 alkyl or perfluoroalkyl, aryl, heteroaryl, (un)substituted allyl or arylmethyl, SO2R2, SOR2; R9, R10 = H, C1-6 alkyl or perfluoroalkyl, aryl, heteroaryl, (un)substituted allyl, arylmethyl, alkynyl, or alkenyl; or R9 and R10 form a 3- to 7-membered ring optionally substituted with F, OR2,

or NR2R7; R11-R14 = H, F, Cl, Br, iodo, NO2, CO2H, CO2R2, COR2, cyano, CF3, CH2OH, C1-4 alkyl or perfluoroalkyl, OR2, SR2, SOR2, SO2R2, SO3H, S(NR2R7)R2, SO(NR2R7)R2, NR2R7, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, alkynyl, or alkenyl; X = CH2, O, S, NR7; R20 = C1-6 alkyl, (un)substituted allyl, arylmethyl, alkenyl, aryl, or heteroaryl; R21 = H, C1-4 alkyl, (un)substituted allyl, arylmethyl, aryl, or heteroaryl; R30, R31 = H, C1-6 alkyl, etc.]. The compds. are high-affinity, high-selectivity modulators of steroid receptors, and in particular are agonists or antagonists of progesterone receptors. Also disclosed are pharmaceutical compns. incorporating the compds., which are effective in female hormone replacement, modulating human fertility, or treating dysfunctional uterine bleeding, endometriosis, leiomyomas, osteoporosis, cancer of the breast or ovaries, or endometrial cancer; methods for employing the disclosed compds. and compns. for treating patients requiring progesterone receptor agonist or antagonist therapy, and intermediates and processes useful in the preparation of the compds. Over 350 synthetic examples are given. For instance, title compound IV was prepared in 70% yield by Grignard reaction of 2-MeC6H4CH2MgCl with the corresponding coumarinoquinoline in Et2O, followed by acid-catalyzed dehydration of the product lactol using p-MeC6H4SO3H in CH2Cl2. Selected compds. were tested in vitro and in vivo for activity at progesterone, androgen, **estrogen**, glucocorticoid, and mineralocorticoid receptors. In a test for agonist activity at progesterone receptors expressed in CV-1 cells, IV had an efficacy (maximum response) of 231% vs. progesterone, and an equivalent potency (EC50) of 4 nM. Five pharmaceutical formulations are described.

L14 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:708473 CAPLUS
DN 123:83209
TI Anti-**estrogenic** compounds and compositions
IN Labrie, Fernand; Merand, Yves
PA Endorecherche Inc., Can.
SO U.S., 72 pp. Cont.-in-part of U.S. Ser. No. 265,150, abandoned.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 8

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	HU 208150	B	19930830		
	JP 2000256390	A2	20000919	JP 2000-62592	19891031
	US 5393785	A	19950228	US 1992-913746	19920714 <--
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	WO 9310741	A3	19940203		
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	AU 9229393	A1	19930628	AU 1992-29393	19921201
	AU 681338	B2	19970828		
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	ES 2176190	T3	20021201	ES 1992-923641	19921201
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	AU 9746772	A1	19980219	AU 1997-46772	19971128
	JP 10273479	A2	19981013	JP 1998-10654	19980122
	JP 3273010	B2	20020408		
	AU 760232	B2	20030508	AU 2000-20637	20000303
	AU 762751	B2	20030703	AU 2000-34056	20000512
	AU 2000034056	A5	20000720		
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	US 1989-377010	B2	19890707		
	US 1988-265716	A	19881101		
	US 1989-322154	A	19890310		
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	US 1991-801704	A	19911202		
	US 1992-917915	A3	19920719		
	JP 1993-509666	A3	19921201		
	JP 1998-10654	A3	19921201		
	WO 1992-CA518	A	19921201		
	US 1993-17045	A3	19930212		
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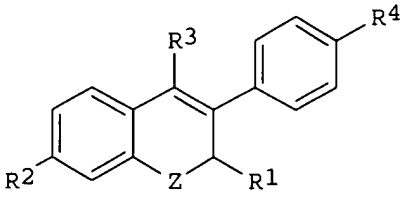
OS MARPAT 123:83209

GI



I

AB Title compds. I [Z = alkylene, haloalkylene, oxaalkylene, thiaalkylene, azaalkylene; R1 = substituted phenylene; R2, R4 = H, OH, protected OH; R3 = H, aliphatic] and their 3,4-dihydro derivs. and pharmaceutical compns. containing them were prepared Such pharmaceutical compns. are useful for the treatment of breast cancer or other diseases whose progress is aided by activation of sex steroid receptors. Thus, I [Z = O, R1 = 4-(2-piperidinoethoxy)phenyl, R2, R4 = OH, R3 = Me, II] was prepared from 2,4-(MeO)2C6H3COCl in 9 steps. II had an ED50 for inhibition of ZR-75-1 cells of 2.55X10-10 M.

L14 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1994:77518 CAPLUS
DN 120:77518
TI Sex steroid activity inhibitors
IN Labrie, Fernand; Merand, Yves
PA Endorecherche Inc., Can.
SO PCT Int. Appl., 227 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 8

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 9310741	A2	19930610	WO 1992-CA518	19921201
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
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	AU 9229393	A1	19930628	AU 1992-29393	19921201
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	EP 615448	A1	19940921	EP 1992-923641	19921201
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	RU 2142945	C1	19991220	RU 1994-31127	19921201
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	NO 9402027	A	19940704	NO 1994-2027	19940601
	NO 315234	B1	20030804		
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	AU 760232	B2	20030508	AU 2000-20637	20000303
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PRAI	US 1991-801704	A	19911202		
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	WO 1992-CA518	A	19921201		
	AU 1996-46606	A3	19960220		
	AU 1997-46772	A3	19971128		
OS	MARPAT 120:77518				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Various steroidal and nonsteroidal (diphenylethylene-based) **antiestrogens** were prepared and/or tested. Pharmaceutical compns. containing various groups and representatives of nonsteroidal compds. are claimed. Included in the disclosure are compds. I [x = 0-6; L and/or G is a polar moiety separated from the B ring by ≥3 intervening atoms; R1, R2 = bond, alkylene, alkenylene, alkynylene, C6H4, or fluoro analogs of these; B = bond, O, S, Se, SO, SO2, NH, CH(OH), NHCO, OCO, CO2, C6H4, etc.; LG may form N-containing heterocyclic ring; or L = various bivalent groups, mostly CO- or C(S)-based; or G = H, alkenyl, alkynyl, (un)substituted alkyl; Z = alkylene, haloalkylene, (CH2)nO, (CH2)nS, (CH2)nCO, etc.; n = 0-3; R3, R10 = H, OH, halo, alkyl, alkoxy, etc.; R6 = H, alkyl, alkenyl, alkynyl]. For example, compound II was prepared and was 3-fold more active against ZR-75-1 breast cancer cells than its known analog lacking the B-ring Me group. Estradiol derivative III was also prepared and found to act as an **antiestrogen** and an inhibitor of 17β-hydroxy steroid dehydrogenase.